AMENDMENTS TO THE CLAIMS

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This listing of claims will replace all prior versions, and listings, of claims in the application.

Listing of claims

1. (currently amended) A compound of formula (I):

$$R^2$$
 N
 R^3
 R^4

and or a pharmaceutically acceptable salt salts thereof, in which

R¹ and R² independently represent phenyl, thienyl or pyridyl each of which is independently optionally substituted by one or more groups represented by Z;

Z represents a C₁₋₈alkyl group optionally substituted by one or more: hydroxy; a C₁₋₆alkoxy group optionally substituted by one or more fluoro; a C₃₋₈cycloalkyl group; a saturated or partially unsaturated 5- to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur; or by a group NR¹⁰R¹¹ (in which R^{10} and R^{11} independently represent hydrogen, a $C_{1\text{-}6}$ alkyl group, a $C_{1\text{-}6}$ alkanoyl group or a C₁₋₆alkoxycarbonyl group), or Z represents a C₃₋₈cycloalkyl group, a C₁₋₆alkoxy group (optionally substituted by one or more fluoro), hydroxy, halo, trifluoromethyl, trifluoromethylthio, trifluoromethylsulphonyl, nitro, a group NR¹⁰R¹¹ (in which R¹⁰ and R¹¹ independently represent hydrogen, a C₁₋₆alkyl group, a C₁₋₆alkanoyl group or a C₁₋₆alkoxycarbonyl group), mono or di C₁₋₃alkylamido, C₁₋₃alkylthio, C₁₋₃alkylsulphonyl, C₁₋₃alkylsulphonyloxy, C₁₋₃alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C₁₋₃alkyl carbamoyl, sulphamoyl, acetyl, an aromatic heterocyclic group which is optionally substituted by one or more halo, C₁₋₄alkyl, trifluoromethyl or trifluoromethoxy, or Z represents and a saturated or partially unsaturated 5-to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur wherein the heterocyclic group is optionally substituted by

one or more C_{1-3} alkyl groups, hydroxy, fluoro, benzyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C_{1-4} alkyl;

R³ represents a group of formula X-Y-NR⁵R⁶ in which X is CO or SO₂ and Y is absent or represents NH optionally substituted by a C₁₋₃alkyl group and R⁵ and R⁶ independently represent: a C₁₋₆alkyl group optionally substituted by one or more hydroxy; an (amino)C₁₋₄alkyl- group in which the amino is optionally substituted by one or more C₁₋₃alkyl groups; a group (C₃₋₁₂cycloalkyl)(CH₂)_g- wherein g is 0, 1, 2 or 3, and wherein the cycloalkyl is optionally substituted by one or more fluoro, hydroxy, C_{1-3} alkyl, C₁₋₃alkoxy, trifluoromethyl or trifluoromethoxy; a group –(CH₂)_r(phenyl)_s in which r is 0, 1, 2, 3 or 4, and s is 1 when r is 0, otherwise s is 1 or 2, and the phenyl groups are optionally independently substituted by one or more groups represented by Z; naphthyl; anthracenyl; a saturated or partially unsaturated 5- to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur wherein the heterocyclic group is optionally substituted by one or more C₁₋₃alkyl groups, hydroxy, fluoro, trifluoromethyl, benzyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl; 1-adamantylmethyl; a group -(CH₂),Het in which t is 0, 1, 2, 3 or 4, and the alkylene chain is optionally substituted by one or more C₁₋₃alkyl groups and Het represents an aromatic heterocyclic group optionally substituted by one, two or three groups selected from a C₁₋₅alkyl group, a C₁₋₅alkoxy group or halo; or R⁵ represents H and R⁶ is as defined above; or R⁵ and R⁶ together with the nitrogen atom to which they are attached represent a saturated or partially unsaturated 5- to 8membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen; wherein the heterocyclic group is optionally substituted by one or more more C₁₋₃alkyl groups, hydroxy, fluoro, trifluoromethyl, trifluoromethoxy, benzyl, C₁₋₆alkanoyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl;

R⁴ represents a group of formula (CH₂)_nCOOR⁷ in which n is 0, 1, 2, 3 or 4; and R⁷ represents a C₄₋₁₂alkyl group, a C₃₋₁₂cycloalkyl group or a (C₃₋₁₂cycloalkyl)C₁₋₃alkyl– group each of which is optionally substituted by one or more of the following: a C₁₋₆alkyl, group; fluoro, amino or hydroxyl group, or R⁷ represents a group –(CH₂)_aphenyl in which a is 0, 1, 2, 3 or 4, and the phenyl group is optionally substituted by one or more groups

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represented by Z which may be the same or different, or R⁷ represents a saturated or partially unsaturated 5₋ to 8₋membered heterocyclic group containing one or more of the of the following: oxygen, sulphur or nitrogen; wherein the heterocyclic group is optionally substituted by one or more C₁₋₃alkyl groups, C₁₋₃acyl groups, hydroxy, amino or benzyl groups; or

- R⁴ represents a group of formula -(CH₂)_o-O-(CH₂)_p-R⁸ in which o and p independently represent an integer 0, 1, 2, 3 or 4, and each of the alkyl chains is independently optionally substituted by one or more C₁₋₆alkyl groups, C₁₋₆alkoxy groups or hydroxy and R⁸ represents a C₁₋₁₂alkyl group or a C₁₋₁₂alkoxy group or R⁸ represents phenyl optionally independently substituted by one or more Z groups or R⁸ represents an aromatic heterocyclic group or a saturated or partially unsaturated 5₋ to 8-membered heterocyclic group containing one or more of ene the following: oxygen, sulphur or nitrogen wherein each of these rings is optionally substituted by one or more groups represented by Z which may be the same or different; with the proviso that R⁴ is not a C₁₋₃alkoxymethyl group unless R³ represents a group of formula X-YNR⁵R⁶ in which X is CO and Y is absent and R⁵ is H and R⁶ is a C₃₋₈ cycloalkyl group substituted by one or more fluoro or X is CO and Y is NH and NR⁵R⁶ together represent a piperidino group substituted by one or more fluoro; or R⁸ represents a C₃₋₈cycloalkyl group or a C₃₋₈cycloalkenyl group optionally substituted by one or more groups represented by Z which may be the same or different; or
- R⁴ represents a C₄₋₁₂alkyl group optionally substituted by one or more fluoro, hydroxy, or amino groups; or
- R⁴ represents a group of formula -(CH₂)_qR⁹ in which q is 0, 1, 2, 3 or 4, and R⁹ represents a C₃₋₁₂cycloalkyl group, a C₃₋₁₂cycloalkenyl group, phenyl, an aromatic heterocyclic group or a saturated or partially unsaturated 5₋ to 8₋membered heterocyclic group containing one or more of one the following: oxygen, sulphur or nitrogen wherein each of these rings is optionally substituted by one or more groups represented by Z which may be the same or different; or
- R^4 represents a group of formula $-L^1R^9$ in which L^1 represents a C_{2-6} alkenylene chain optionally substituted by one or more C_{1-4} alkyl groups and R^9 -s as previously defined; or

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- R^4 represents a group of formula - $(CH_2)_m$ -O-(CO)- R^{10} in which m represents an integer 0, 1, 2, 3 or 4, in which R^{10} represents a C_{1-12} alkyl group optionally substituted by one or more fluoro, hydroxy, or amino groups or R^{10} represents a group of formula - $(CH_2)_q R^9$ -in which q and R^9 is as previously described; or
- R⁴ represents a group of formula CONR¹¹R¹² in which R¹¹ and R¹² independently represent H or a C₁₋₈alkyl group or a C₁₋₈alkyl group substituted by one or more hydroxy groups, provided that at least one of R¹¹ and R¹² is a hydroxyC₁₋₈alkyl group; or R⁴ represents a group of formula –L²CN in which L² represents a C₁₋₆alkylene chain.
- 2. (currently amended) A compound according to claim 1 represented by formula IIa:

$$R^2$$
 N
 N
 R^4
 N
 N
 N
 N

lla

- wherein R¹ and R² independently represent phenyl optionally independently substituted by halo or pyridyl,
- R^4 represents a C_{4-8} alkyl group, a group CH_2OR^8 in which R^8 is a C_{4-8} alkyl group, or a group CO_2R^7 in which R^7 represents a C_{4-8} alkyl group, and
- Y is represents NH; and R^5 represents H and R^6 represents perfluorophenyl or phenyl optionally substituted by trifluoromethyl; or R^5 and R^6 together with the nitrogen to which they are attached represent piperidino, morpholino or piperazino, each of which is optionally substituted by one or more C_{1-3} alkyl groups, hydroxy, fluoro, trifluoromethyl, trifluoromethoxy, benzyl, C_{1-6} alkanoyl or an amino group -NR x R y in which R^x and R^y independently represent H or C_{1-4} alkyl;
- or Y is absent; and R⁵ represents H or a C₁₋₆alkyl group optionally substituted by amino[[;]] and R⁶ represents tetrahydropyranyl or 4-piperidinyl optionally substituted by one or more C₁₋₃alkyl groups, hydroxy, fluoro, trifluoromethyl, trifluoromethoxy, benzyl, C₁₋₆alkanoyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl or a C₁₋₆alkyl group optionally substituted by amino; or R⁵ and R⁶ together with the nitrogen

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to which they are attached represent piperidino, morpholino or piperazino, each of which is optionally substituted by C_{1-3} alkyl or fluoro.

3. (currently amended) A compound according to claim 1 represented by formula IIb:

wherein R¹ and R² represent phenyl independently optionally substituted by one or more chloro; and

R⁷ represents butyl, tert-butyl, cyclohexyl or benzyl.

4. (currently amended) A compound according to claim 1 represented by formula IIc:

$$R^2$$
 N
 R^k
 R^1
 N
 $(CH_2)_{ij}$
 R^k

llc

wherein R¹ and R² represent phenyl independently optionally substituted by one or more chloro or methyl;

u is 0, 1, 2, 3, or 4;

R^j represents triazolyl, tetrazolyl, imidazolyl, pyrrolyl, thiazolyl, oxazolyl, oxazinolyl, isoxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, azolactonyl or azetidinyl each of which is optionally substituted by one or more of the following: morpholinyl, piperidinyl, pyrrolidinyl, a C₁₋₃alkylthio group, a C₃₋₆cycloalkyl group, C₁₋₃alkoxy, hydroxy, amino, C₁₋₆alkylamino, di(C₁₋₆alkyl)amino, or a C₁₋₆alkyl group optionally substituted by one or more of the following: C₁₋₃alkoxy, hydroxy, amino, C₁₋₆alkylamino, di(C₁₋₆alkyl)amino, morpholinyl, piperidinyl or pyrrolidinyl, or a group of formula CH(X)R^pR^q in which X is

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hydroxy, a C_{1-6} alkoxy group, difluoromethoxy, C_{1-6} alkyl, amino, C_{1-6} alkylamino, di(C_{1-6} alkyl)amino, morpholinyl, piperidinyl or pyrrolidinyl, R^p represents hydrogen, a C_{1-6} alkyl group or a C_{3-6} cycloalkyl group and R^q represents hydrogen, a C_{1-6} alkyl group or a C_{3-6} cycloalkyl group or R^j represents C_{1-6} alkoxy group terminally substituted on carbon by one or more fluoro; and

R^k represents piperidino, 4,4-difluorocyclohexyl or C₃₋₆alkyl optionally substituted by hydroxy.

- (currently amended) A compound according to claim 4,
 in which R¹ and R² represent phenyl independently optionally substituted by one or more chloro or methyl;
- R^j represents triazolyl or tetrazolyl each of which is optionally substituted by one or more of the following: a C₁₋₃alkylthio group, a C₃₋₆cycloalkyl group or a C₁₋₆alkyl group optionally substituted by one or more of the following: C₁₋₃alkoxy, hydroxy, amino, C₁₋₆alkylamino, di(C₁₋₆alkyl)amino, morpholinyl, piperidinyl or pyrrolidinyl, or a group of formula CH(X)R^pR^q in which X is hydroxy, difluoromethoxy, C₁₋₆alkyl, amino C₁₋₆alkylamino, di(C₁₋₆alkyl)amino, morpholinyl, piperidiyl or pyrrolidinyl, R^p represents hydrogen, a C₁₋₆alkyl group or a C₃₋₆cycloalkyl group and R^q represents hydrogen, a C₁₋₆alkyl group or a C₃₋₆cycloalkyl group or R^j represents C₁₋₆alkoxy group terminally substituted on carbon by one or more fluoro; and u is 0 or 1; and

R^k represents piperidino, 4,4-difluorocyclohexyl or C₃₋₆alkyl optionally substituted by hydroxy.

- 6. (currently amended) A compound selected from one or more of the following: tert-butyl 5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate; butyl 5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate; cyclohexyl 5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate; benzyl 5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate; tert-butyl 5,6-bis(4-chlorophenyl)-3-({[cis-2-hydroxycyclohexyl]amino}carbonyl)-pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-({[trans-2-hydroxycyclohexyl]amino}carbonyl)-pyrazine-2-carboxylate;

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- tert-butyl 5,6-bis(4-chlorophenyl)-3-({2-[4-(trifluoromethyl)phenyl]hydrazino}carbonyl)-pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-[(morpholin-4-ylamino)carbonyl]pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-({2-[4-(tert-butylhydrazino}carbonyl)pyrazine-2-carboxylate;
- 3-(tert-butoxymethyl)-5,6-bis(4-chlorophenyl)-N-piperidin-1-ylpyrazine-2-carboxamide; 5,6-bis(4-chlorophenyl)-3-(cyclohexylidenemethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-(cyanomethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-(1-methoxyethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-{[(2-hydroxy-1-methylethyl)amino]carbonyl}-pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-{[(4,4-difluorocyclohexyl)amino]carbonyl}pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-[(pentylamino)carbonyl]pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-{[(1-ethylpropyl)amino]carbonyl}pyrazine-2-carboxylate;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-{[(4,4-difluoropiperidin-1-yl)amino]carbonyl}-pyrazine-2-carboxylate;
- 5,6-bis(4-chlorophenyl)-N-piperidin-1-yl-3-[(4-propyl-1H-1,2,3-triazol-1-yl)methyl]pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-{[4-(1-hydroxyethyl)-1H-1,2,3-triazol-1-yl]methyl}-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-{[5-(1-hydroxyethyl)-1H-1,2,3-triazol-1-yl]methyl}-N-piperidin-1-ylpyrazine-2-carboxamide;
- tert-butyl {[1-({5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazin-2-yl}methyl}-1H-1,2,3-triazol-4-yl]methyl}carbamate;
- tert-butyl {[1-({5,6-bis(4-chlorophenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazin-2-yl}methyl}-1H-1,2,3-triazol-5-yl]methyl}carbamate;
- 3-{[4-(aminomethyl)-1H-1,2,3-triazol-1-yl]methyl}-5,6-bis(4-chlorophenyl)-N-piperidin-1-ylpyrazine-2-carboxamide;

- 3-{[5-(aminomethyl)-1H-1,2,3-triazol-1-yl]methyl}-5,6-bis(4-chlorophenyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-(phenoxymethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-(morpholin-4-ylmethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-(piperidin-1-ylmethyl)-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(cyclohex-2-en-1-yloxy)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)- 3- [(cyclohexyloxy)methyl]-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)- N-(2-hydroxyethyl)-N'-piperidin-1-ylpyrazine-2,3 -dicarboxamide;
- 5,6-bis(4-chlorophenyl)- N-(3-hydroxybutyl)-N'-piperidin-1-ylpyrazine-2,3 -dicarboxamide;
- 5,6-bis(4-chlorophenyl)- N-(3-hydroxypropyl)-N'-piperidin-1-ylpyrazine-2,3 -dicarboxamide;
- *Tert*-butyl 5,6-bis(4-methylphenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate;
- 5,6-bis(4-methylphenyl)-N-piperidin-1-yl -3 -(1H-tetrazol-1-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-methylphenyl)-N-piperidin-1-yl -3 -(2H-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-N-piperidin-1-yl -3 -(2H-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-N-piperidin-1-yl-3-(1H-tetrazol-1-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-(4,4-difluorocyclohexyl)-3-(2*H*-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-(4,4-difluoropiperidin-1-yl)-3-(2*H*-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(2-methoxyethoxy)methyl]-N-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-cyclopropyl-2*H*-tetrazol-2-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-cyclopropyl-1*H*-tetrazol-1-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-methyl-2*H*-tetrazol-2-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-methyl-1*H*-tetrazol-1-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- *tert*-butyl 6-(4-chlorophenyl)-5-(4-methylphenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate;

- tert-butyl 5-(4-chlorophenyl)-6-(4-methylphenyl)-3-[(piperidin-1-ylamino)carbonyl]pyrazine-2-carboxylate;
- 6-(4-chlorophenyl)-5-(4-methylphenyl)-*N*-piperidin-1-yl-3-(2*H*-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- 5-(4-chlorophenyl)-6-(4-methylphenyl)-*N*-piperidin-1-yl-3-(2*H*-tetrazol-2-ylmethyl)pyrazine-2-carboxamide;
- tert-butyl 5,6-bis(4-chlorophenyl)-3-{[(2-hydroxyethyl)(methyl)amino]-carbonyl}pyrazine-2-carboxylate;
- 5,6-bis-(4-chloro-phenyl)-3-propoxy-pyrazine-2-carboxylic acid piperidin-1-ylamide;
- 5,6-bis(4-chlorophenyl)-N-piperidin-1-yl-3-(2H-tetrazol-5-ylmethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-N-piperidin-1-yl-3-(1H-tetrazol-5-yl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-morpholin-4-yl-2*H*-tetrazol-2-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(5-morpholin-4-yl-1*H*-tetrazol-1-yl)methyl]-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-piperidin-1-yl-3-[(5-pyrrolidin-1-yl-2*H*-tetrazol-2-yl)methyl]pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-piperidin-1-yl-3-[(5-pyrrolidin-1-yl-1*H*-tetrazol-1-yl)methyl]pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-{[5-(methylthio)-2*H*-tetrazol-2-yl]methyl}-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-{[5-(methylthio)-1*H*-tetrazol-1-yl]methyl}-*N*-piperidin-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-N-(4,4-difluorocyclohexyl)-3-(methoxymethyl)pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-(4,4-difluorocyclohexyl)-3-{[(4-fluorobenzyl)oxy]methyl}pyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-3-[(4,4-difluoropiperidin-1-yl)methyl]-*N*-piperidine-1-ylpyrazine-2-carboxamide;
- 5,6-bis(4-chlorophenyl)-*N*-(4,4-difluorocyclohexyl)-3-[(4,4-difluoropiperidin-1-yl)methyl]pyrazine-2-carboxamide; or

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5,6-bis(4-chlorophenyl)-*N*-(4,4-difluoropiperidin-1-yl)-3-(methoxymethyl)pyrazine-2-carboxamide;

and or a pharmaceutically acceptable salt salts thereof.

7. (cancelled)

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- 8. (currently amended) A pharmaceutical formulation comprising a compound of <u>any one of claims 1-4 or 6</u> formula I, as defined in any one of claims 1 to 6 and a pharmaceutically acceptable adjuvant, diluent or carrier.
- 9. (cancelled)
- 10. (currently amended) A method of treating obesity, psychiatric disorders, psychotic disorders, schizophrenia and bipolar disorders, anxiety, anxio-depressive disorders, depression, cognitive disorders, memory disorders, obsessive-compulsive disorders, anorexia, bulimia, attention disorders, epilepsy, and related conditions, neurological disorders, neurological disorders, Parkinson's Disease, Huntington's Chorea and Alzheimer's Disease, immune, cardiovascular, reproductive and endocrine disorders, septic shock, diseases related to the respiratory and gastrointestinal system, and extended abuse, addiction and/or relapse indications, comprising administering a pharmacologically effective amount of a compound of formula I according to claim 1 to a patient in need thereof.
- 11. (currently amended) A <u>method for compound as defined in any one of claims 1 to 6 for use in-the treatment of obesity comprising administering a pharmacologically effective amount of a compound of any one of claims 1-4 or 6 to a patient in need thereof.</u>
- 12. (currently amended) A <u>composition comprising a compound of claim 1 or 6</u> as defined in any one of claims 1 to 6 in combination with another pharmaceutically active active compound.
- 13. (currently amended) A process <u>for the preparation of to prepare</u> a compound of formula I according to claim 1 comprising:
- a) reacting a compound of formula III:

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$$R^2$$
 N
 CO_2H
 R^4

Ш

in which R¹, R² and R⁴ are as previously defined with an amine of formula IV:

 $R^5R^6YNH_2$ (IV)

or a salt thereof, in which Y, R⁵ and R⁶ are as previously defined in a solvent, in the presence of a coupling agent and optionally in the presence of a base at a temperature in the range of 25°C to 150°C

to give compounds of formula I provide a compound of claim 1 in which R^4 , R^2 and R^4 are as previously defined and R^3 is COYNR⁵R⁶ as previously defined, or

b) reacting a compound an azide of formula XI:

$$R^2$$
 N
 R^3
 N
 N
 N
 N
 N

in which R^4 , R^2 and R^3 are as previously defined with a compound an acetylene of formula XII: H-C \equiv C-Z

XII

- in which Z is as previously defined in an inert solvent and optionally in the presence of a catalyst at a temperature in the range of -25°C to 150°C to give compounds of formula I provide a compound of claim 1 in which R¹, R² and R³ are as previously defined and R⁴ represents a group CH₂(1H-1,2,3-triazol-1-yl) in which the triazole is optionally substituted on carbon by Z; or
- c) reacting a compound of formula XIV:

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$$R^2$$
 N
 CO_2R^e
 R^4

XIV

in which R^4 , R^2 and R^4 are as previously defined and R^e represents an alkyl group, with an amine of formula IV:

$$R^5R^6YNH_2$$
 (IV)

or a salt thereof, in which Y, R⁵ and R⁶ are as previously defined in a solvent in the presence of a coupling agent and optionally in an inert atmosphere at a temperature in the range of -25°C to 150°C to give compounds of formula I provide a compound of claim 1 in which R¹, R² and R⁴ are as previously defined and R³ is COYNR⁵R⁶; or

d) reacting a compound of formula XV:

$$R^2$$
 R^4
 XV

in which R^{1} , R^{2} and R^{4} are as previously defined and X represents a leaving group, with an amine of formula IV:

$$R^5R^6YNH_2$$
 (IV)

- or a salt thereof, in which Y, R⁵ and R⁶ are as previously defined in a solvent optionally in the presence of a base at a temperature in the range of -25°C to 150°C to give compounds of formula I provide a compound of claim 1 in which R¹, R² and R⁴ are as previously defined and R³ is COYNR⁵R⁶; or
- d) e) de-protecting compounds of formula I a compound of claim 1, in which one or more groups is protected, to give compounds of formula I provide a compound of claim 1.
- 14. A compound of formula XI

$$R^2$$
 N
 N
 N_3

in which R¹, R²-and R³-are as previously defined

R¹ and R² independently represent phenyl, thienyl or pyridyl each of which is independently optionally substituted by one or more groups represented by Z;

Z represents a C₁₋₈alkyl group optionally substituted by one or more: hydroxy; a C₁₋₆alkoxy group optionally substituted by one or more fluoro; a C₃₋₈cycloalkyl group; a saturated or partially unsaturated 5- to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur; or by a group NR¹⁰R¹¹ (in which R¹⁰ and R¹¹ independently represent hydrogen, a C₁₋₆alkyl group, a C₁₋₆alkanoyl group or a C₁₋₆alkoxycarbonyl group), or Z represents a C₃₋₈cycloalkyl group, a C₁₋₆alkoxy group (optionally substituted by one or more fluoro), hydroxy, halo, trifluoromethyl, trifluoromethylthio, trifluoromethylsulphonyl, nitro, a group NR¹⁰R¹¹ (in which R¹⁰ and R¹¹ independently represent hydrogen, a C₁₋₆alkyl group, a C₁₋₆alkanoyl group or a C₁₋₆alkoxycarbonyl group), mono or di C₁₋₃alkylamido, C₁₋₃alkylthio, C₁₋₃alkylsulphonyl, C₁₋₃alkylsulphonyloxy, C₁₋₃alkoxycarbonyl, carboxy, cyano, carbamoyl, mono or di C₁₋₃alkyl carbamoyl, sulphamoyl, acetyl, an aromatic heterocyclic group which is optionally substituted by one or more halo, C₁₋₄alkyl, trifluoromethyl or trifluoromethoxy, or Z represents a saturated or partially unsaturated 5-to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur wherein the heterocyclic group is optionally substituted by one or more C_{1-3} alkyl, hydroxy, fluoro, benzyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl;

R³ represents a group of formula X-Y-NR⁵R⁶ in which X is CO or SO₂ and Y is absent or represents NH optionally substituted by a C₁₋₃alkyl group and R⁵ and R⁶ independently represent: a C₁₋₆alkyl group optionally substituted by one or more hydroxy; an (amino)C₁₋₄alkyl- group in which the amino is optionally substituted by one or more C₁₋₃alkyl groups; a group (C₃₋₁₂cycloalkyl)(CH₂)_g- wherein g is 0, 1, 2, or 3, and wherein the

cycloalkyl is optionally substituted by one or more fluoro, hydroxy, C₁₋₃alkyl, C₁₋₃alkoxy, trifluoromethyl or trifluoromethoxy; a group -(CH₂)_r(phenyl)_s in which r is 0, 1, 2, 3 or 4, and s is 1 when r is 0, otherwise s is 1 or 2, and the phenyl groups are optionally independently substituted by one or more groups represented by Z; naphthyl; anthracenyl; a saturated or partially unsaturated 5- to 8-membered heterocyclic group containing one or more heteroatoms selected from nitrogen, oxygen or sulphur wherein the heterocyclic group is optionally substituted by one or more C₁₋₃alkyl, hydroxy, fluoro, trifluoromethyl, benzyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl; 1-adamantylmethyl; a group -(CH₂), Het in which t is 0, 1, 2, 3, or 4, and the alkylene chain is optionally substituted by one or more C₁₋₃alkyl groups and Het represents an aromatic heterocyclic group optionally substituted by one, two or three groups selected from a C₁₋₅alkyl group, a C₁₋₅alkoxy group or halo; or R⁵ represents H and R⁶ is as defined above; or R⁵ and R⁶ together with the nitrogen atom to which they are attached represent a saturated or partially unsaturated 5- to 8-membered heterocyclic group containing one nitrogen and optionally one of the following: oxygen, sulphur or an additional nitrogen; wherein the heterocyclic group is optionally substituted by one or more C₁₋₃alkyl, hydroxy, fluoro, trifluoromethyl, trifluoromethoxy, benzyl, C₁₋₆alkanoyl or an amino group -NR^xR^y in which R^x and R^y independently represent H or C₁₋₄alkyl.